

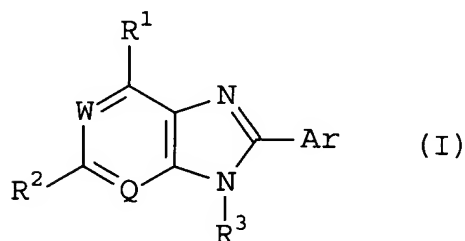
AMENDMENTS TO THE CLAIMS

Please cancel claims 14, 15, 22, 24, and 26-33 without prejudice or disclaimer of the subject matter set forth therein.

This listing of claims will replace all prior versions and listings of claims in the application:

Listing of claims:

1. (previously presented) A compound, a pharmacologically acceptable salt thereof or hydrates thereof, which is represented by the formula (I):



wherein R<sup>1</sup> represents 1) hydrogen, 2) hydroxyl, 3) a halogen atom, 4) an optionally substituted C1-C8 alkyl group or 5) formula -NR<sup>4</sup>R<sup>5</sup>, wherein R<sup>4</sup> and R<sup>5</sup> are the same as or different from each other and each represents hydrogen, a C1-C8 alkyl group, a C3-C8 cycloalkyl group, or a C2-C5 saturated cyclic amino group which is formed with the nitrogen to which they bind,

whereupon this ring may contain oxygen, sulfur or nitrogen other than the nitrogen and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom; R<sup>2</sup> represents

1) hydrogen, 2) a halogen atom, 3) formula  $-NR^6R^7$ , wherein  $R^6$  and  $R^7$  are the same as or different from each other and each represents hydrogen, a C2-C5 acyl group, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or  $R^6$  and  $R^7$  represent a C2-C5 saturated cyclic amino group which is formed with the nitrogen to which they bind, whereupon this ring may contain oxygen, sulfur or nitrogen other than said nitrogen and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom), 4) a C2-C8 alkynyl group which may be substituted with a halogen atom, hydroxyl, a C1-C4 alkyl group or a C3-C6 cycloalkyl group, 5) a C3-C8 alkenyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, 6) a C1-C8 alkyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group or 7) a C1-C8 alkoxy group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group;  $R^3$  represents 1) a C3-C8 alkynyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, 2) a C3-C8 alkenyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, 3) an optionally substituted heteroaryl group wherein the heteroaryl group is selected from the group consisting of a pyrrole group, a pyrazolyl group, an imidazolyl group, a triazolyl group, a tetrazolyl group, a thiazolyl group, a pyridyl group, a pyrimidyl group and a pyrazinyl group, 4) a

1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) an optionally substituted C3-C6 cycloalkyl group, 5) a dihydroxypyrimidyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group or 6) a dihydroxo- or tetrahydrodioxopyrazinyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group; Ar represents 1) an optionally substituted aryl group, 2) an optionally substituted heteroaryl group, wherein the heteroaryl group is selected from the group consisting of a pyrrole group, a pyrazolyl group, an imidazolyl group, a triazolyl group, a tetrazolyl group, a thiazolyl group,

a pyridyl group, a pyrimidyl group and a pyrazinyl group, 3) an oxopyridyl group which may be substituted with a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with a C1-C6 alkyl group or a C3-C6 cycloalkyl group or 4) an oxopyrimidyl group which may be substituted with a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with a C1-C6 alkyl group or a C3-C6 cycloalkyl group; and Q and W represent N.

2. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein  $R^2$  is a hydrogen atom.

3. (previously presented) The compound according to claim 1 or 2, a pharmacologically acceptable salt thereof or hydrates thereof, wherein  $R^3$  represents 1) an optionally substituted heteroaryl group, 2) a 1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) an optionally substituted C3-C6 cycloalkyl group, 3) a dihydroxypyrimidyl group which may be substituted with a) a

halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl, or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group, or 4) a dihydroxo or tetrahydrodioxopyrazinyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group, or b-3) a C3-C6 cycloalkyl group.

4. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein  $R^3$  represents 1) an optionally substituted pyridyl group, 2) an optionally substituted pyrimidyl group, 3) a 1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group; b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group; or b-3) an optionally substituted C3-C6 cycloalkyl group, or 4) a

dihydroxypyrimidyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group; b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group; or b-3) a C3-C6 cycloalkyl group.

5. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein Ar is an optionally substituted aryl.

6. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein Ar is a phenyl substituted with a halogen atom.

7. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein  $R^1$  is represented by the formula  $-NR^4R^5$ , wherein  $R^4$  and  $R^5$  are the same as or different from each other and each represents hydrogen, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they bind, whereupon this ring may contain oxygen, sulfur or nitrogen other than the nitrogen and

may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom.

8. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R<sup>1</sup> is amino.

9. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R<sup>1</sup> is amino; R<sup>2</sup> is hydrogen; and R<sup>3</sup> is 1) a pyridyl group which may be substituted with hydroxyl or a C1-C6 alkyl group or 2) a 1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group; b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group; or b-3) an optionally substituted C3-C6 cycloalkyl group.

10. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R<sup>1</sup> is amino, R<sup>2</sup> is hydrogen, and R<sup>3</sup> is a 1,2-dihydro-2-oxopyridyl group whose nitrogen may be substituted

with a C1 to C6 alkyl group which may be substituted with a halogen atom.

11. (previously presented) The compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R<sup>1</sup> is amino, R<sup>2</sup> is a C2 alkynyl group which is substituted with a hydroxy-C4-C6 cycloalkyl group, R<sup>3</sup> is a C3 alkenyl group, and Ar is a phenyl substituted with a halogen atom.

12. (previously presented) The compound according to claim 1, which is selected from the following group:

1) 5-[6-amino-8-(3-fluorophenyl)-9H-9-puriny]-1--methyl-1, 2-dihydro-2-pyridinone, and

2) 1-{2-[6-amino-8-(3-fluorophenyl)-9-(2-propenyl)-9H-2-puriny]-1-ethynyl}-1-cyclobutanol,

a pharmacologically acceptable salt thereof or hydrates thereof.

13-15. (canceled).

16. (previously presented) A method of treating diabetes mellitus, which comprises administering an effective amount of the compound according to claim 1, a pharmacologically



acceptable salt thereof or hydrates thereof to an individual in need thereof for treating diabetes mellitus.

17. (previously presented) A method of treating diabetic complications, which comprises administering an effective amount of the compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof to an individual in need thereof for treating diabetic complications.

18. (previously presented) A method of treating diseases against which the compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof is effective.

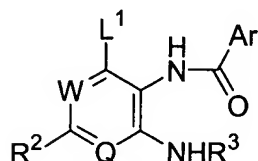
19. (previously presented) A method of treating diabetic retinopathy, which comprises administering an effective amount of the compound according to claim 1 to a patient in need thereof for treating diabetic retinopathy.

20. (previously presented) An adenosine A<sub>2</sub> receptor antagonist comprising the compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof.

21. (previously presented) A pharmaceutical composition comprising the compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof and a pharmacologically acceptable carrier.

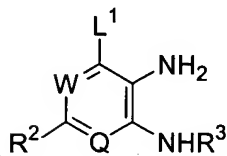
22. (canceled).

23. (previously presented) A process for producing an acylaminopyridine compound—represented by the following formula:



(A3)

(wherein  $L^1$ ,  $R^2$ ,  $R^3$ ,  $Ar$ ,  $Q$  and  $W$  have the same meanings as defined below, respectively), a salt thereof or hydrates thereof, which comprises allowing an aminopyrimidine compound (A2) represented by the following formula:



(A2)

(wherein  $L^1$  represents a halogen atom;  $R^2$  represents 1) hydrogen, 2) a halogen atom, 3) formula  $-NR^6R^7$  (wherein  $R^6$  and  $R^7$  are the same as or different from each other and represent hydrogen, a

C2-C5 acyl group, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or  $R^6$  and  $R^7$  represent a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they bind, whereupon this ring may contain an oxygen atom, a sulfur atom or a nitrogen atom other than the nitrogen atom and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom), 4) a C2-C8 alkynyl group which may be substituted with a halogen atom, hydroxyl, a C1-C4 alkyl group or a C3-C6 cycloalkyl group, 5) a C3-C8 alkenyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, 6) a C1-C8 alkyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, or 7) a C1-C8 alkoxy group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group;  $R^3$  represents 1) a C3-C8 alkynyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 2) a C3-C8 alkenyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 3) a C1-C8 alkyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 4) an optionally substituted aryl group, 5) an optionally substituted heteroaryl group, wherein the heteroaryl group is selected from the group consisting of a pyrrole group, a pyrazolyl group, an imidazolyl group, a triazolyl group, a tetrazolyl group, a thiazolyl group, a pyridyl group, a

pyrimidyl group and a pyrazinyl group, 6) a 1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) an optionally substituted C3-C6 cycloalkyl group, 7) a dihydroxypyrimidyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group or 8) a dihydroxo or tetrahydrodioxopyrazinyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxy, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group, or b-3) a C3-C6 cycloalkyl group; and Q and W represent N, to react with an acyl compound represented by the formula  $\text{ArCOX}$  (wherein X represents a halogen atom; and Ar represents 1) an optionally substituted aryl group, 2) an optionally substituted heteroaryl group, wherein the

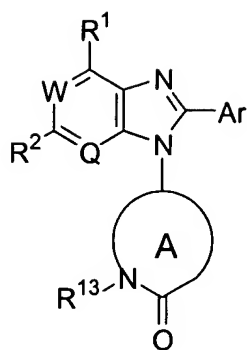
heteroaryl group is selected from the group consisting of a pyrrole group, a pyrazolyl group, an imidazolyl group, a triazolyl group, a tetrazolyl group, a thiazolyl group, a pyridyl group, a pyrimidyl group and a pyrazinyl group, 3) an oxopyridyl group which may be substituted with a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is substituted with a C1-C6 alkyl group or a C3-C6 cycloalkyl group, or 4) an oxopyrimidyl group which may be substituted with a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is substituted with a C1-C6 alkyl group or a C3-C6 cycloalkyl group).

24. (canceled).

25. (previously presented) The process for producing an acylaminopyrimidine compound (A3), a salt thereof or hydrates thereof according to claim 23, wherein  $R^3$  is an N-C1-C8 alkyl-2-oxopyrimidinyl group.

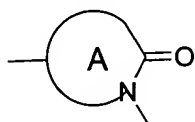
26-33. (canceled).

34. (currently amended) A process for producing an imidazopyrimidine compound (C3), a salt thereof or hydrates thereof represented by the formula:



(C3)

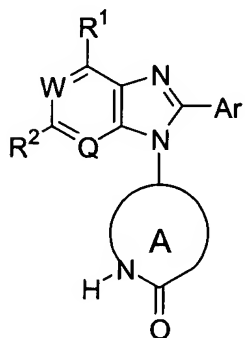
wherein R<sup>13</sup> means a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group, or an optionally substituted C3-C6 cycloalkyl group; and R<sup>1</sup>, the formula:



, on formula C3, represents 1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) an optionally substituted C3-C6 cycloalkyl group, 5) a dihydroxypyrimidyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or

an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group or 6) a dihydroxo- or tetrahydrodioxopyrazinyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group;

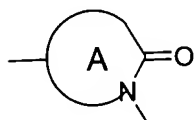
$R^2$ , Ar, Q and W have the same meanings as defined above, respectively, which comprises alkylating an imidazopyrimidine compound (C2) represented by the following formula:



(C2)

wherein  $R^1$  represents 1) hydrogen, 2) hydroxyl, 3) a halogen atom, 4) an optionally substituted C1-C8 alkyl group or 5) formula  $-NR^4R^5$ , wherein  $R^4$  and  $R^5$  are the same as or different from each other and each represents hydrogen, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they

bind, whereupon this ring may contain oxygen, sulfur or nitrogen other than the nitrogen atom and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom; the formula:



~~represents dihydrooxypyridinyl or pyrimidyl, or dihydroxyl or tetrahydroxypyrazinyl~~ on formula (C2), is as defined above but the nitrogen is substituted by hydrogen; and R<sup>2</sup>, Ar, Q and W have the same meanings as defined above, respectively.

35. (previously presented) A method of treating diabetes mellitus; diabetic complications; diabetic retinopathy; diseases against which the compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof is effective; or diseases against which an adenosine A2 receptor antagonism is effective, by administering a pharmacologically effective amount of the compound according to claim 1, a pharmacologically acceptable salt thereof or hydrates thereof.

36. (canceled).

37. (previously presented) The method of claim 16 wherein an effective amount of compound is 0.03 to 1000 mg per day.



38. (previously presented) The method of claim 17 wherein an effective amount of compound is 0.03 to 1000 mg per day.

39. (previously presented) The method of claim 19 wherein an effective amount of compound is 0.03 to 1000 mg per day.

40. (previously presented) The method of claim 16 wherein an effective amount of compound is 0.1 to 500 mg per day.

41. (previously presented) The method of claim 17 wherein an effective amount of compound is 0.1 to 500 mg per day.

42. (previously presented) The method of claim 19 wherein an effective amount of compound is 0.1 to 500 mg per day.

43. (previously presented) The method of claim 16 wherein an effective amount of compound is 0.1 to 100 mg per day.

44. (previously presented) The method of claim 17 wherein an effective amount of compound is 0.1 to 500 mg per day.

45. (previously presented) The method of claim 19 wherein an effective amount of compound is 0.1 to 500 mg per day.

46. (previously presented) The method of claim 16 wherein an effective amount of compound is administered by injection and the injection amount is 1  $\mu\text{g/Kg}$ .

47. (previously presented) The method of claim 17 wherein an effective amount of compound is administered by injection and the injection amount is 1  $\mu\text{g/Kg}$ .

48. (previously presented) The method of claim 19 wherein an effective amount of compound is administered by injection and the injection amount is 1  $\mu\text{g/Kg}$ .